United States Patent [19]

Barcelo et al.

[11] **Patent Number: 4,891,430**

[45] **Date of Patent: Jan. 2, 1990**

[54] **PROCESS FOR SYNTHESIZING ACTIVE ESTERS OF CARBOXYLIC ACIDS, NEW ALPHA-HALOGENATED CARBONATES WHICH ARE USEFUL FOR THIS SYNTHESIS AND THE METHOD OF PRODUCING THEM**

[75] Inventors: **Gérard Barcelo**, Sainte-Genevieve Des Bois; **Bertrand Castro**, Saint-Aunes, both of France; **Mahmoud Jaouadi**, G. de Sfax, Tunisia; **Jean Martinez**, Caux, France; **Jean-Pierre Senet**, La Chapelle La Reine, France; **Gérard Sennyey**, Gif Sur Yvette, France

[73] Assignee: **Societe Internationale Des Poudres et Explosifs**, Paris, France

[21] Appl. No.: **243,885**

[22] Filed: **Sep. 13, 1988**

Related U.S. Application Data

[62] Division of Ser. No. 801,081, Nov. 22, 1985, Pat. No. 4,782,164.

[30] **Foreign Application Priority Data**

Dec. 4, 1984 [FR] France 84 18433

[51] **Int. Cl.**[4] **C07D 207/404**; C07D 249/18

[52] **U.S. Cl.** **548/259**; 548/475; 548/542; 558/270; 558/272

[58] **Field of Search** 548/475, 542, 259; 558/270, 272

[56] **References Cited**

U.S. PATENT DOCUMENTS

Re. 30,752	9/1981	Itoh	548/259
3,134,802	5/1964	Gaertner	558/270
3,598,856	8/1971	Fujiwo	558/270
3,835,175	10/1974	Carpino	558/270
4,282,151	8/1981	Batz	548/259
4,508,657	4/1985	Carpino	558/270
4,592,872	3/1986	Cagnon et al.	558/283
4,652,665	3/1987	Barcelo et al.	558/272
4,697,032	9/1987	Malfroot et al.	558/260

FOREIGN PATENT DOCUMENTS

0167451 1/1986 European Pat. Off. .

OTHER PUBLICATIONS

Chem. Abst., vol. 107, 40326v, abstracting Fr 2,574,075.

Chem. Abstr., vol. 105, 60309d abstracting JP 61 43144.

Chem. Abst., vol. 104, 168201f abstracting EP 167451 (1986).

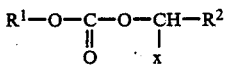
Chem Abst, vol. 105, 6403s, abstracting EP 165810.

Primary Examiner—Donald G. Daus

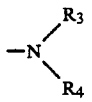
Attorney, Agent, or Firm—Bucknam and Archer

[57] **ABSTRACT**

The invention relates to a new process for preparing active esters or carboyxlic acids, which consists in reacting a carboxylic acid, in the presence of an agent for binding hydrohalic acid, with a carbonate of formula:



in which R^1 denotes either a radical of formula



in which

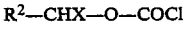
R^3 and R^4, which may be identical or different, are not hydrogen atoms and denote organic radicals which may be substituted or unsubstituted and saturated or unsaturated, and may or may not be bound to a polymer, and which can be joined together to form a hetero-cyclic system with the nitrogen, atom,

or a substituted or unsubstituted aryl radical which may or may not be bound to a polymer,

R^2 denotes a hydrogen atom, an aliphatic or cycloaliphatic radical which may be substituted or unsubstituted and saturated or unsaturated, or a substituted or unsubstituted aromatic radical,

and X denotes a halogen atom.

This process is especially useful for the synthesis of active esters of N-protected amino acids. The invention also relates to the new carbonates described above and the method of producing them, which consists in reacting an alpha-halogenated chloroformate of formula:



with an alcohol of formula R^1OH in an inert solvent medium in the presence of an organic or inorganic base.

13 Claims, No Drawings